

CAS ONLINE PRINTOUT

=> d his

(FILE 'HOME' ENTERED AT 09:23:43 ON 20 SEP 2002)

FILE 'REGISTRY' ENTERED AT 09:23:47 ON 20 SEP 2002

L1 STRUCTURE UPLOADED
L2 11 S L1
L3 5117 S L1 FUL
L4 STRUCTURE UPLOADED
L5 21 S L4
L6 STRUCTURE UPLOADED
L7 STRUCTURE UPLOADED
L8 8 S L7
L9 36 S L7 CSS FUL

FILE 'CAPLUS' ENTERED AT 09:35:41 ON 20 SEP 2002

L10 233 S L9

FILE 'REGISTRY' ENTERED AT 09:36:21 ON 20 SEP 2002

L11 SCREEN 963 AND 1700
L12 STRUCTURE UPLOADED
L13 QUE L12 AND L11
L14 10 SEARCH L13 CSS SUB=L9 FULL

FILE 'CAPLUS' ENTERED AT 09:40:42 ON 20 SEP 2002

L15 5 S L14

FILE 'REGISTRY' ENTERED AT 09:48:18 ON 20 SEP 2002

L16 SCREEN 963 AND 1700
L17 STRUCTURE UPLOADED
L18 QUE L17 AND L16
L19 55 S L18 CSS FUL
L20 SCREEN 963 AND 1700
L21 SCREEN 2127
L22 STRUCTURE UPLOADED
L23 QUE L22 AND L20 NOT L21
L24 20 SEARCH L23 CSS SUB=L19 FULL

FILE 'CAPLUS' ENTERED AT 09:52:11 ON 20 SEP 2002

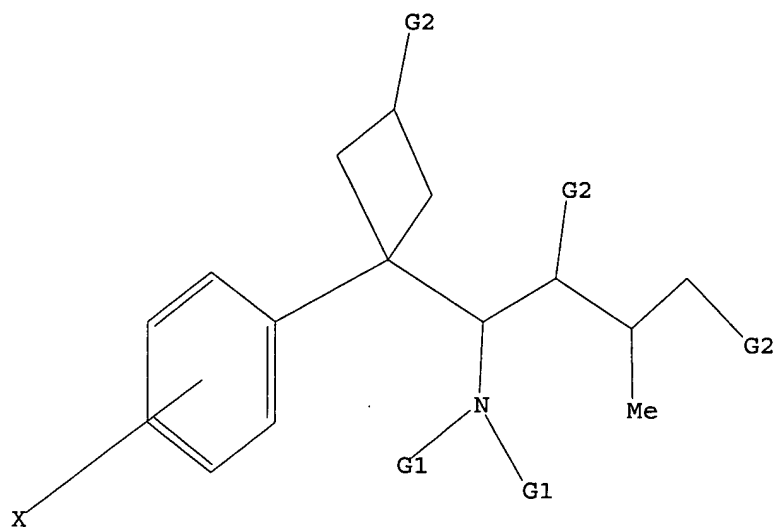
L25 2 S L24

=> d l22

L22 HAS NO ANSWERS

L22 STR

CAS ONLINE PRINTOUT



G1 H, Me, Et, n-Pr, i-Pr, n-Bu, i-Bu, s-Bu, t-Bu

G2 H, Me, Et, n-Pr, i-Pr, MeO, EtO, n-PrO, i-PrO, OH

Structure attributes must be viewed using STN Express query preparation.

=> d bib abs hitstr 1-2

L25 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2002 ACS

AN 2002:449632 CAPLUS

DN 137:20209

TI Preparation of hydroxylated sibutramine analogs as neuronal monoamine uptake inhibitors

IN Senanayake, Chrisantha H.; Rubin, Paul D.; Jerussi, Thomas P.

PA Sepracor Inc., USA

SO PCT Int. Appl., 115 pp.

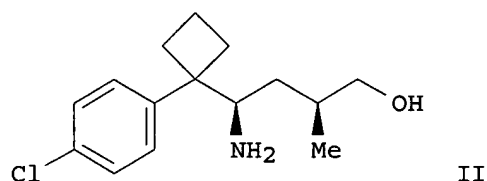
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002046138	A2	20020613	WO 2001-US47433	20011204
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	US 2002115727	A1	20020822	US 2001-998195	20011203
PRAI	US 2000-250524P	P	20001204		
	US 2000-257052P	P	20001222		
OS	MARPAT 137:20209				
GI					



AB Title compds. 4-ClC₆H₄CR₂CH(NR₁R₂)CHR₄CHMeCH₂R₃ (I; R₂ = CH₂CHR₅CH₂; R₁, R₂ = H or alkyl; .gtoreq.1 of R₃-R₅ = OH or alkoxy and the others = H, oh alkoxy) were prepd. Thus, 1-(4-chlorophenyl)cyclobutanecarboxaldehyde was condensed with (R)-Me₂CSNH₂ and the product subjected to asym. addn. by chiral O-protected LiCH₂CHMeCH₂OH to give, e.g., title compd. II. Data for biol. activity of I were given.

IT 435343-58-1P 435343-60-5P 435343-63-8P
 435343-65-0P 435343-67-2P 435343-69-4P
 435343-71-8P 435343-73-0P 435343-83-2P
 435343-95-6P 435343-97-8P

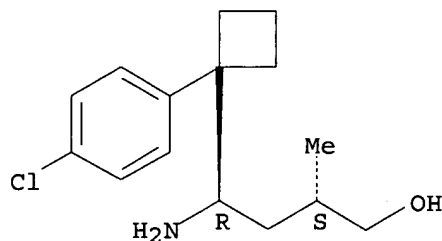
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of hydroxylated sibutramine analogs as neuronal monoamine uptake inhibitors)

RN 435343-58-1 CAPLUS

CN Cyclobutanebutanol, .delta.-amino-1-(4-chlorophenyl)-.beta.-methyl-, (.beta.S,.delta.R)- (9CI) (CA INDEX NAME)

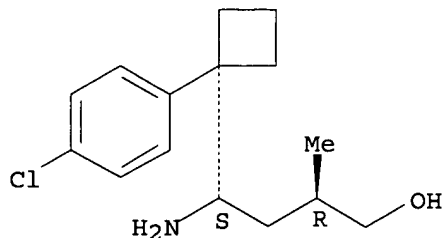
Absolute stereochemistry.



RN 435343-60-5 CAPLUS

CN Cyclobutanebutanol, .delta.-amino-1-(4-chlorophenyl)-.beta.-methyl-, (.beta.R,.delta.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



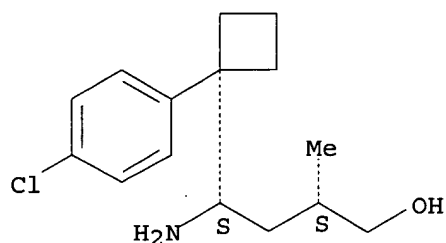
RN 435343-63-8 CAPLUS

CN Cyclobutanebutanol, .delta.-amino-1-(4-chlorophenyl)-.beta.-methyl-,

CAS ONLINE PRINTOUT

(.beta.S,.delta.S)- (9CI) (CA INDEX NAME)

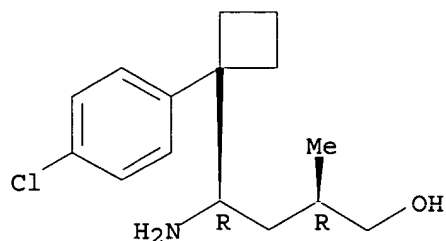
Absolute stereochemistry.



RN 435343-65-0 CAPLUS

CN Cyclobutanebutanol, .delta.-amino-1-(4-chlorophenyl)-.beta.-methyl-,
(.beta.R,.delta.R)- (9CI) (CA INDEX NAME)

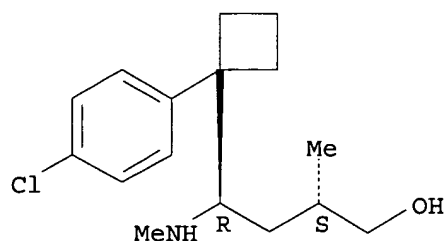
Absolute stereochemistry.



RN 435343-67-2 CAPLUS

CN Cyclobutanebutanol, 1-(4-chlorophenyl)-.beta.-methyl-.delta.-(methylamino)-
, (.beta.S,.delta.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

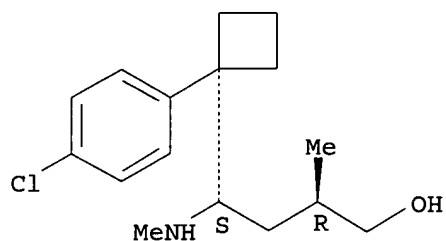


RN 435343-69-4 CAPLUS

CN Cyclobutanebutanol, 1-(4-chlorophenyl)-.beta.-methyl-.delta.-(methylamino)-
, (.beta.R,.delta.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

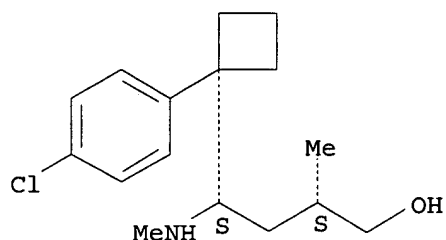
CAS ONLINE PRINTOUT



RN 435343-71-8 CAPLUS

CN Cyclobutanebutanol, 1-(4-chlorophenyl)-.beta.-methyl-.delta.-(methylamino)-, (.beta.S,.delta.S)- (9CI) (CA INDEX NAME)

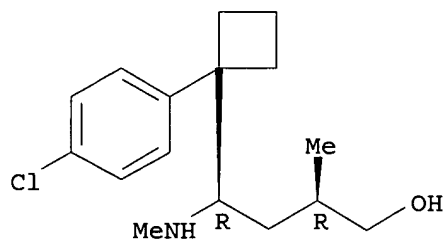
Absolute stereochemistry.



RN 435343-73-0 CAPLUS

CN Cyclobutanebutanol, 1-(4-chlorophenyl)-.beta.-methyl-.delta.-(methylamino)-, (.beta.R,.delta.R)- (9CI) (CA INDEX NAME)

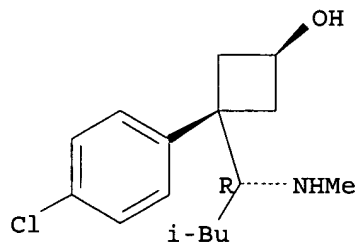
Absolute stereochemistry.



RN 435343-83-2 CAPLUS

CN Cyclobutanol, 3-(4-chlorophenyl)-3-[(1R)-3-methyl-1-(methylamino)butyl]-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

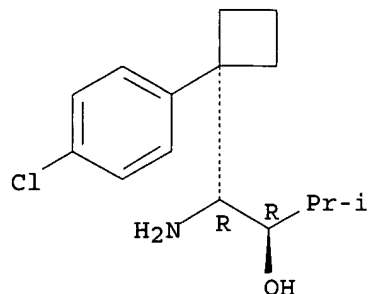


CAS ONLINE PRINTOUT

RN 435343-95-6 CAPLUS

CN Cyclobutaneethanol, .beta.-amino-1-(4-chlorophenyl)-.alpha.-(1-methylethyl)-, (.alpha.R,.beta.R)- (9CI) (CA INDEX NAME)

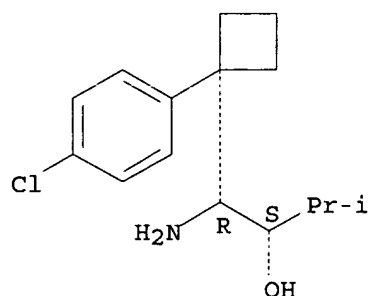
Absolute stereochemistry.



RN 435343-97-8 CAPLUS

CN Cyclobutaneethanol, .beta.-amino-1-(4-chlorophenyl)-.alpha.-(1-methylethyl)-, (.alpha.S,.beta.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L25 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2002 ACS

AN 1996:713861 CAPLUS

DN 126:143907

TI Synthesis of sibutramine, a novel cyclobutylalkylamine useful in the treatment of obesity, and its major human metabolites

AU Jeffery, James E.; Kerrigan, Frank; Miller, Thomas K.; Smith, Graham J.; Tometzki, Gerald B.

CS Knoll Pharmaceuticals, Res. Development Dep., Nottingham, NG1 1GF, UK

SO Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1996), (21), 2583-2589

CODEN: JCPRB4; ISSN: 0300-922X

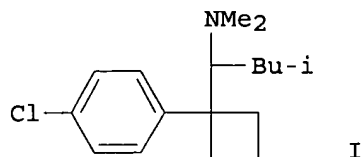
PB Royal Society of Chemistry

DT Journal

LA English

OS CASREACT 126:143907

GI



AB Synthetic routes to N-{1-[1-(4-chlorophenyl)cyclobutyl]-3-methylbutyl}-N,N-dimethylamine (sibutramine) 1 (= I) and its demethylated and hydroxylated human metabolites N-{1-[1-(4-chlorophenyl)cyclobutyl]-3-methylbutyl}-N-methylamine 2, 1-[1-(4-chlorophenyl)cyclobutyl]-3-methylbutylamine 3, 4-amino-4-[1-(4-chlorophenyl)cyclobutyl]-2-methylbutan-1-ol 4 and c-3-(1-amino-3-methylbutyl)-3-(4-chlorophenyl)cyclobutan-1-ol 5a are described. Key steps are tandem Grignard-redn. reactions on 1-(4-chlorophenyl)cyclobutanecarbonitrile 7 and its 3-(tetrahydropyran-2-yloxy)-substituted analog 14 and a convenient one-pot conversion of 4-chlorophenylacetonitrile 6 into the 1-(4-chlorophenyl)-3-hydroxycyclobutanecarbonitrile 13.

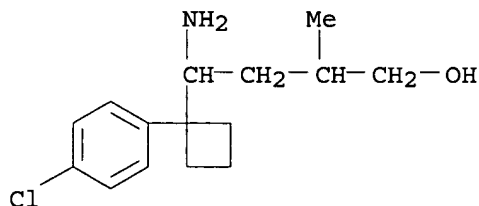
IT 186521-83-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of sibutramine and its major human metabolites with tandem Grignard-redn. reactions of 1-(4-chlorophenyl)cyclobutanecarbonitrile and cycloalkylation of 4-chlorophenylacetonitrile as key steps)

RN 186521-83-5 CAPLUS

CN Cyclobutanebutanol, .delta.-amino-1-(4-chlorophenyl)-.beta.-methyl- (9CI) (CA INDEX NAME)



IT 186521-84-6P 186521-90-4P

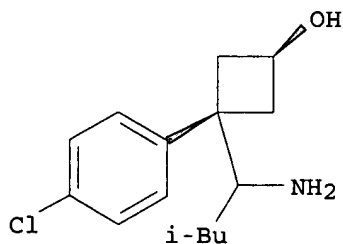
RL: SPN (Synthetic preparation); PREP (Preparation)

(synthesis of sibutramine and its major human metabolites with tandem Grignard-redn. reactions of 1-(4-chlorophenyl)cyclobutanecarbonitrile and cycloalkylation of 4-chlorophenylacetonitrile as key steps)

RN 186521-84-6 CAPLUS

CN Cyclobutanol, 3-(1-amino-3-methylbutyl)-3-(4-chlorophenyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

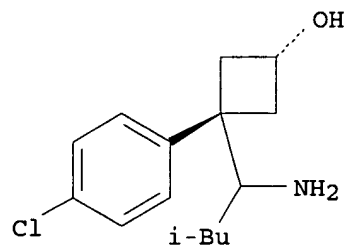


CAS ONLINE PRINTOUT

RN 186521-90-4 CAPLUS

CN Cyclobutanol, 3-(1-amino-3-methylbutyl)-3-(4-chlorophenyl)-, cis- (9CI)
(CA INDEX NAME)

Relative stereochemistry.



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